(FILE 'HOME' ENTERED AT 12:14:58 ON 23 JUL 2001)

```
FILE 'EUROPATFULL, PCTFULL, USPATFULL, WPIDS' ENTERED AT 12:15:33 ON 23
     JUL 2001
L1
            724 S GLAXO WELLCOME/PA
               E WELLCOME/PA
```

E GLAXO/PA

50 S (GLAXO/PA OR WELLCOME/PA) (L) (LAMIVUDINE OR

(AMINO (6W) HYDROXYM

50 DUP REM L2 (0 DUPLICATES REMOVED)

19 S L3 NOT PY>=1998 L4

4 S L4(L) (HBV OR HEPATITIS) L5

L6 0 S L4(L) (ADEFOVIR OR PHOSPHONOMETHOXY(2W) ETHYL(2W) ADENINE) L7 4 S L3(L) (ADEFOVIR OR PHOSPHONOMETHOXY(2W) ETHYL(2W) ADENINE)

 $rac{1}{8}$ 476 S ADEFOVIR OR PHOSPHONOMETHOXY(2W)ETHYL(2W)ADENINE OR PMEA

L9 9 S L8(L)L3

FILE 'INPADOC' ENTERED AT 12:41:57 ON 23 JUL 2001

L10 1 S WO9852949/PN

> FILE 'EUROPATFULL, PCTFULL, USPATFULL, WPIDS' ENTERED AT 12:43:27 ON 23 JUL 2001

L11201 S L8(L) (HBV OR HEPATITIS)

44 S L11 NOT PY>=1998

L13 100 S ADEFOVIR(2A) DIPIVOXIL

45 S L13(L) (HBV OR HEPATITIS) L14

L15 1 S L14 NOT PY>=1998

FILE 'USPATFULL' ENTERED AT 13:20:22 ON 23 JUL 2001

L16 12 S (ADEFOVIR OR PHOSPHONOMETHOXY(2W) ETHYL(2W) ADENINE OR

PMEA) (S)

L17 1 S L16 NOT PY>=1998 => d ibib 1-4

ANSWER 1 OF 4 ACCESSION NUMBER: TITLE (ENGLISH): TITLE (FRENCH): INVENTOR(S):

PCTFULL COPYRIGHT 2001 MicroPatent

2000064427 PCTFULL EW 200044 ED 20001124

PHARMACEUTICAL FORMULATION FORMULATION PHARMACEUTIQUE

KAWAMURA, Koho; MARUYAMA, Toshio; MISHIMA, Yasuhiro;

SUGIBAYASHI, Nobuya

PATENT ASSIGNEE(S): LANGUAGE OF PUBL.: LANGUAGE OF FILING: GLAXO WELLCOME KABUSHIKI KAISHA English

DOCUMENT TYPE: PATENT INFORMATION: English Patent

NUMBER

KIND -----WO 2000064427 A2 20001102

DESIGNATED STATES:

AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: PRIORITY (ORIGINAL): WO 2000-JP2572 20000420 GB 1999-9909154.8 19990422

ANSWER 2 OF 4 ACCESSION NUMBER: TITLE (ENGLISH): TITLE (FRENCH): INVENTOR(S):

PCTFULL COPYRIGHT 2001 MicroPatent

2000016755 PCTFULL EW 200013 ED 20000428

KIND

ANTIVIRAL COMBINATIONS COMBINAISONS ANTIVIRALES

BROWN, Nathaniel, A.; CONDREAY, Lynn, D.; GRAY,

Douglas, Fraser; RUBIN, Marc GLAXO GROUP LIMITED

PATENT ASSIGNEE(S): LANGUAGE OF PUBL.: LANGUAGE OF FILING:

English

PATENT INFORMATION:

DOCUMENT TYPE:

English Patent

NUMBER

DESIGNATED STATES:

WO 2000016755 A2 20000330

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

DATE

APPLICATION INFO.: PRIORITY (ORIGINAL): WO 1999-EP6886 19990917 GB 1998-9820420.9 19980918

ANSWER 3 OF 4 ACCESSION NUMBER: TITLE (ENGLISH):

PCTFULL COPYRIGHT 2001 MicroPatent

1999064001 PCTFULL

METHODS AND COMPOSITIONS FOR INCREASING PENETRATION

OF

HIV

PROTEASE INHIBITORS

METHODES ET COMPOSITIONS DESTINEES A ACCROITRE LA TITLE (FRENCH):

PENETRATION DES

INHIBITEURS DE LA PROTEASE DU VIH

BROUWER, Kenneth, Russell; POLLI, Joseph, William

PATENT ASSIGNEE(S): GLAXO GROUP LIMITED

LANGUAGE OF PUBL.: English LANGUAGE OF FILING: English DOCUMENT TYPE: Patent

PATENT INFORMATION:

INVENTOR(S):

NUMBER KIND

WO 9964001 A2 19991216 DESIGNATED STATES: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK

> EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ UG ZW AM AZ BY KG KZ

MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD

TG

APPLICATION INFO .: WO 1999-EP3827 19990603 GB 1998-9812189.0 19980605 PRIORITY (ORIGINAL):

1.7 ANSWER 4 OF 4 PCTFULL COPYRIGHT 2001 MicroPatent

ACCESSION NUMBER: 1998052949 PCTFULL

TITLE (ENGLISH): CARBOCYCLIC NUCLEOSIDE HEMISULFATE AND ITS USE IN

TREATING VIRAL

INFECTIONS

TITLE (FRENCH): HEMISULFATE DE NUCLEOSIDE CARBOCYCLIQUE ET SON

UTILISATION DANS

LE TRAITEMENT D'INFECTIONS VIRALES

INVENTOR(S): BRODIE, Alastair, Couper; JONES, Martin, Francis; SEAGER, John, Frederick; WALLIS, Christopher, John

PATENT ASSIGNEE(S): GLAXO GROUP LIMITED LANGUAGE OF PUBL.: English

LANGUAGE OF FILING: English DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 9852949 Al 19981126

DESIGNATED STATES: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM GW HU ID IL IS JP KE KG KP KR KZ LC

LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU

SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW GH GM KE LS MW SD SZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT

BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG

APPLICATION INFO.: WO 1998-EP2835 19980514 PRIORITY (ORIGINAL): GB 1997-9709945.1 19970517

```
PCTFULL COPYRIGHT 2001 MicroPatent
     ANSWER 1 OF 1
ACCESSION NUMBER:
                         1997044063 PCTFULL
TITLE (ENGLISH):
                         DHA­ PHARMACEUTICAL AGENT CONJUGATES
TITLE (FRENCH):
                         CONJUGUES D'ACIDE <i>CIS </i>&shy;DOCOSAHEXANOIQUE
                         D'AGENTS
                         PHARMACEUTIQUES
INVENTOR(S):
                         BRADLEY, Matthews, O.; SHASHOUA, Victor, E.; WEBB,
                        Nigel, L.; SWINDELL, Charles, S.
                        NEUROMEDICA, INC.
PATENT ASSIGNEE(S):
LANGUAGE OF PUBL.:
                         English
LANGUAGE OF FILING:
                         English
DOCUMENT TYPE:
                         Patent
PATENT INFORMATION:
                        NUMBER
                                           KIND
                                                    DATE
                        WO 9744063
                                             A2 19971127
DESIGNATED STATES:
                        AU CA JP AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL
                        PT SE
APPLICATION INFO.:
                        WO 1997-US8867
                                                19970522
PRIORITY (ORIGINAL):
                        US 1996-08/651312
                                                19960522
DETD . . . Antirabies Serum; Antivenin (Latrodectus mactans);
     Antivenin (Micrurus
     Fulvius); Antivenin (Crotalidae) Polyvalent; KG Vaccine; Botulism
     Antitoxin; Cholera Vaccine;
     Diphtheria Antitoxin; Diphtheria Toxoid; DiplitheriaToxoid Adsorbed;
     Globulin, Immune; Hepatitis
    B Immune Globulin; Hepatitis B Virus Vaccine Inactivated;
     Influenza
     Virus Vaccine; Measles Virus
     Vaccine Live; Meningococcal Polysaccharide Vaccine Group A;
     Meningococcal Polysacchariide
     Vaccine Group C; Mumps Virus Vaccine.
     acarbose; aceclofenac; acemannan;
     acetoniepregenol; acety 1 -L-carn i tine;
     acetylcystelne, N-. acetylmethadol; acifran; acipimox; acitemate;
     acitretin; aclarubicin; aciatonium;
     napadisilatc; acomazide; acrivastinet; adafenoxate; adapalene;
     adatanserin; adecypenol; adefovir
       dipivoxil; adelmidrol; ademetionine; adinazolam; adiposin;
     adozelesin;
     adrafinil; alacepril;
     aladapcin; alaptide; affiendazole; albolabrin; aldecalmycin;
aldesleukin;
     alendronic acid; alentemol;
     alfacalcidol. alfuzosin; aiglucerase; aiiiidstine; alosetron; alpha
     idosone. alprostadil. altretailline;
```

altromycin.

L17 ANSWER 1 OF 1 USPATFULL

97:38508 USPATFULL ACCESSION NUMBER:

Phosphorous prodrugs and therapeutic delivery systems TITLE:

using same

INVENTOR(S): Glazier, Arnold, Newton, MA, United States

PATENT ASSIGNEE(S): Drug Innovation & Design, Inc., Newton, MA, United

States (U.S. corporation)

NUMBER

PATENT INFORMATION:

US 5627165 19970506 US 1994-310972 19940923 (8)

APPLICATION INFO.:

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1991-714130, filed

on 11 Jun 1991, now abandoned which is a

continuation-in-part of Ser. No. US 1990-537332, filed

on 13 Jun 1990, now abandoned

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Wilson, James O.

LEGAL REPRESENTATIVE:

Hamilton, Brook, Smith & Reynolds, P.C.

NUMBER OF CLAIMS:

38

EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 1,36 20 Drawing Figure(s); 9 Drawing Page(s)

LINE COUNT:

2020

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The PMEA prodrug was tested for its ability to inhibit hepatitis B viral replication by an in vitro assay as

previously described by Korba. Chronically hepatitis B

producing human hepatocyte (2.2.15 cells) were grown to confluence in microtitre wells. Test compounds were added daily for a 9 day period. The culture medium was changed daily and stored for quantitation of

extracellular hepatitis B viral (HBV) DNA

on days 0, 3, 6, and 10. On day 10 the cells were lysed and the

intracellular HBV episomal monomeric DNA and HBV

replicative intermediates (RI) were quantitated. Toxicity of test compounds was assayed by treating confluent monolayers of the

hepatocyte

with graded.

DETD

Antiviral Activity of PMEA Prodrug 7-a Viral Prodrug

**PMEA** 

Prodrug

PMEA

Prodrug

Prodrug

EC50 EC50 Assay

CC50 CC50

CC50/-EC50

HIV 1 CPE

.32\* >20 2.4 >20 7.5 >62.5

HSV1 CPE

.06 68.5

76. . . Plaque 2.25 52 >125 >366 >55 >23 HSV2 Plaque 4.4 215 >125 >366 48 HCMV Plaque 3.1 12.4 19 >366 HBV Virion 1.6 4.3 587 235 366 HBV RI\* 3.0 8.2 587 235 195 2.7 DETD .

Antiviral Activity of PMEA Prodrug 7-b Viral Prodrug

**PMEA** 

Prodrug

PMEA

Prodrug

Prodrug

Assay EC50 EC50

CC50 CC50

CC50/-EC50

Potency

HIV 1 CPE

.006\*

>20 .85 >20 141 >33005

HSV1 CPE

.63 68.5

52.9. . . Plaque

L12 ANSWER 34 OF 44 USPATFULL

ACCESSION NUMBER: 97:71178 USPATFULL

TITLE:

Nucleotide analogs

INVENTOR(S):

Bischofberger, Norbert, San Carlos, CA, United States

Jones, Robert J., Millbrae, CA, United States Arimilli, Murty, Fremont, CA, United States Lin, Kuei-Ying, Fremont, CA, United States Louie, Michael, Burlingame, CA, United States McGee, Lawrence R., Pacifica, CA, United States Prisbe, Ernest J., Los Altos, CA, United States

PATENT ASSIGNEE(S):

Gilead Sciences, Inc., Foster City, CA, United States

(U.S. corporation)

NUMBER KIND

PATENT INFORMATION:

US 5656745

19970812

APPLICATION INFO.:

US 1993-123483

19930917 (8)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER: LEGAL REPRESENTATIVE: Wilson, James O.

NUMBER OF CLAIMS:

Muenchau, Daryl D.

EXEMPLARY CLAIM:

29

NUMBER OF DRAWINGS:

7 Drawing Figure(s); 7 Drawing Page(s)

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

```
bis-ester to a corresponding mixed ester-phosphoroamidate compound.
      . . . --O--C#H(CH.sub.2 N.sub.3)--CH.sub.2 --B, ##STR20## where C#,
       R.sup.25 -R.sup.29, R.sup.31 and B have the meanings previously defined
       with the proviso that PMEA bis(4-nitrobenzyl ester) and
       PMEA bis(4-trifluoromethyl ester) are excluded and for structure
      XXIX, R.sup.29 and R.sup.25 are both O. Additional ester and nucleotide
       compounds are.
       Exemplary bis esters include bis(pivaloyloxymethyl) PMEA (i.e.
DETD
      bis (pivaloyloxymethyl) -9-(2-phosphonylmethoxyethyl) adenine),
      bis (pivaloyloxymethyl) HPMPC, bis (pivaloyloxymethyl) D4AMPI,
      bis (pivaloyloxymethyl) D4TMPI, bis (N-ethylmorpholino) PMEA,
      bis (N-ethylmorpholino) HPMPC, bis (N-ethylmorpholino) PMPDAP,
       bis (N-ethylmorpholino) HPMPA, bis (N-ethylmorpholino) PMEG,
      bis(N-ethylmorpholino)D4AMPI, bis(N-ethylmorpholino)D4TMPI, bis(phenyl)
       PMEA, bis(phenyl) HPMPC, bis(phenyl) HPMPA, bis(phenyl) D4AMPI,
       bis(phenyl)D4TMPI, bis(t-butyl)PMEA, bis(t-butyl)D4AMPI,
      bis(t-butyl)D4TMPI, bis(t-butyl)HPMPC, bis(2-ethoxyphenyl)PMEA
       , bis(2-ethoxyphenyl) HPMPC,, bis(4-fluorophenyl) PMEA,
      bis (4-fluorophenyl) HPMPC, bis (3,5-dimethoxyphenyl) PMEA,
       bis(3,5-dimethoxyphenyl)HPMPC and the like.
DETD
       The compounds of structural formula Id shown are in Table 6 (bis(glycyl
       benzyl ester) PMEA (compound Ex 4), bis(alanyl benzyl ester)
       PMEA (Ex 1), bis(phenylalanyl benzyl ester)PMEA (Ex
       5), etc. Compounds Ex 1-Ex 12 were synthesized by the following
       procedure. PMEA (Z--B.dbd.--CH.sub.2 --O--CH.sub.2 --CH.sub.2
       --B, where B is adenin-9-yl) (0.3 g; 1.1 mmol) and amino acid
       ester.multidot.HCl (2.2 mmol; Sigma) were.
         . . using freshly prepared triphenylphosphine (6.0 mmol) and
DETD
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